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LOGINID:ssptayvv1621

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

| | | | |
|--------------|----|-------------|---|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | JAN 02 | STN pricing information for 2008 now available |
| NEWS | 3 | JAN 16 | CAS patent coverage enhanced to include exemplified prophetic substances |
| NEWS | 4 | JAN 28 | USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats |
| NEWS | 5 | JAN 28 | MARPAT searching enhanced |
| NEWS | 6 | JAN 28 | USGENE now provides USPTO sequence data within 3 days of publication |
| NEWS | 7 | JAN 28 | TOXCENTER enhanced with reloaded MEDLINE segment |
| NEWS | 8 | JAN 28 | MEDLINE and LMEDLINE reloaded with enhancements |
| NEWS | 9 | FEB 08 | STN Express, Version 8.3, now available |
| NEWS | 10 | FEB 20 | PCI now available as a replacement to DPCI |
| NEWS | 11 | FEB 25 | IFIREF reloaded with enhancements |
| NEWS | 12 | FEB 25 | IMSPRODUCT reloaded with enhancements |
| NEWS | 13 | FEB 29 | WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification |
| NEWS | 14 | MAR 31 | IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats |
| NEWS | 15 | MAR 31 | CAS REGISTRY enhanced with additional experimental spectra |
| NEWS | 16 | MAR 31 | CA/Caplus and CASREACT patent number format for U.S. applications updated |
| NEWS | 17 | MAR 31 | LPCI now available as a replacement to LDPCI |
| NEWS | 18 | MAR 31 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS | 19 | APR 04 | STN AnaVist, Version 1, to be discontinued |
| NEWS | 20 | APR 15 | WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats |
| NEWS | 21 | APR 28 | EMBASE Controlled Term thesaurus enhanced |
| NEWS | 22 | APR 28 | IMSRESEARCH reloaded with enhancements |
| NEWS EXPRESS | | FEBRUARY 08 | CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008 |
| NEWS HOURS | | | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | | | Welcome Banner and News Items |
| NEWS IPC8 | | | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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***** STN Columbus *****

FILE 'HOME' ENTERED AT 11:44:32 ON 30 APR 2008

```
=> file reg
COST IN U.S. DOLLARS                SINCE FILE      TOTAL
                                      ENTRY      SESSION
FULL ESTIMATED COST                0.21          0.21
```

FILE 'REGISTRY' ENTERED AT 11:44:53 ON 30 APR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 29 APR 2008 HIGHEST RN 1018438-06-6
DICTIONARY FILE UPDATES: 29 APR 2008 HIGHEST RN 1018438-06-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

```
=>
Uploading C:\Program Files\Stnexp\Queries\10510242-RCE-1.str
```

L1 STRUCTURE UPLOADED

```
=> d l1
L1 HAS NO ANSWERS
L1 STR
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 11:45:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 657483 TO ITERATE

0.3% PROCESSED      2000 ITERATIONS                      1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
```

```
FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:   13104482 TO 13194838
PROJECTED ANSWERS:      5487 TO 7661
```

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:45:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13144474 TO ITERATE

| | | |
|----------------|--------------------|------------|
| 1.7% PROCESSED | 228452 ITERATIONS | 3 ANSWERS |
| 3.5% PROCESSED | 465685 ITERATIONS | 19 ANSWERS |
| 5.5% PROCESSED | 726769 ITERATIONS | 48 ANSWERS |
| 7.2% PROCESSED | 945653 ITERATIONS | 53 ANSWERS |
| 7.6% PROCESSED | 1000000 ITERATIONS | 54 ANSWERS |

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.01.15

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 13144474 TO 13144474
PROJECTED ANSWERS: 630 TO 788

L3 54 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 179.28 | 179.49 |

FILE 'CAPLUS' ENTERED AT 11:46:56 ON 30 APR 2008
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FILE COVERS 1907 - 30 Apr 2008 VOL 148 ISS 18
FILE LAST UPDATED: 29 Apr 2008 (20080429/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3
L4 7 L3
=> s l4 not py > 2003
5660884 PY > 2003
L5 0 L4 NOT PY > 2003

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 4.04 | 183.53 |

FILE 'REGISTRY' ENTERED AT 11:49:35 ON 30 APR 2008
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STRUCTURE FILE UPDATES: 29 APR 2008 HIGHEST RN 1018438-06-6
DICTIONARY FILE UPDATES: 29 APR 2008 HIGHEST RN 1018438-06-6

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experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10510242-RCE-claim2,14.str

L6 STRUCTURE UPLOADED

=> s l6

SAMPLE SEARCH INITIATED 11:49:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 657468 TO ITERATE

0.3% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 13104182 TO 13194538
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6

=> s l6 full

FULL SEARCH INITIATED 11:50:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13144151 TO ITERATE

1.7% PROCESSED 225856 ITERATIONS 1 ANSWERS
3.4% PROCESSED 447820 ITERATIONS 4 ANSWERS
5.1% PROCESSED 668245 ITERATIONS 4 ANSWERS
7.2% PROCESSED 950021 ITERATIONS 16 ANSWERS
7.6% PROCESSED 1000000 ITERATIONS 17 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.01.15

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 13144151 TO 13144151
PROJECTED ANSWERS: 179 TO 267

L8 17 SEA SSS FUL L6

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 179.28 | 362.81 |

FILE 'CAPLUS' ENTERED AT 11:51:22 ON 30 APR 2008
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FILE LAST UPDATED: 29 Apr 2008 (20080429/ED)

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<http://www.cas.org/infopolicy.html>

=> s l8

L9 2 L8

=> s l9 not py > 2004

4557025 PY > 2004

L10 0 L9 NOT PY > 2004

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 5.48 | 368.29 |

FILE 'REGISTRY' ENTERED AT 11:55:24 ON 30 APR 2008
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DICTIONARY FILE UPDATES: 29 APR 2008 HIGHEST RN 1018438-06-6

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when

conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10510242-R2H-R4H.str

L11 STRUCTURE UPLOADED

=> d l11

L11 HAS NO ANSWERS

L11 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l11

SAMPLE SEARCH INITIATED 11:55:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 12023 TO ITERATE

16.6% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 233890 TO 247030
PROJECTED ANSWERS: 0 TO 0

L12 0 SEA SSS SAM L11

=> s l11 full

FULL SEARCH INITIATED 11:55:52 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 242417 TO ITERATE

100.0% PROCESSED 242417 ITERATIONS 222 ANSWERS
SEARCH TIME: 00.00.02

L13 222 SEA SSS FUL L11

=> file caplus

| | | |
|----------------------|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 178.36 | 546.65 |

FILE 'CAPLUS' ENTERED AT 11:56:06 ON 30 APR 2008

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FILE LAST UPDATED: 29 Apr 2008 (20080429/ED)

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=> s l13

L14 15 L13

=> s l14 not PY > 2004

4557025 PY > 2004

L15 11 L14 NOT PY > 2004

=> s l14 not PY > 2003

5660884 PY > 2003

L16 10 L14 NOT PY > 2003

=> d l16 ibib abs hitstr l-

YOU HAVE REQUESTED DATA FROM 10 ANSWERS - CONTINUE? Y/(N):y

L16 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:595157 CAPLUS

DOCUMENT NUMBER: 140:122070

TITLE: Caffeoyl naphthalenesulfonamide derivatives as HIV integrase inhibitors

AUTHOR(S): Xu, Yu-Wen; Zhao, Gui-Sen; Shin, Cha-Gyun; Zang, Heng-Chang; Lee, Chong-Kyo; Lee, Yong Sup

CORPORATE SOURCE: College of Pharmacy, Shandong University, Ji'nan, Shandong Province, 250012, Peop. Rep. China

SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(17), 3589-3593

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:122070

AB HIV-1 integrase (IN) is an essential enzyme for retroviral replication and a rational target for the design of anti-AIDS drugs. In the present study, we have designed, synthesized and tested a series of caffeoyl naphthalenesulfonamide derivs. as HIV integrase inhibitors. Among these compds., we found that HIV integrase inhibitory activities of some of compds. were more potent than l-chicoric acid (IC50=11.8 µg/mL) and others were comparable to l-chicoric acid. Furthermore, the structure-activity relationships of these compds. were studied. The information gathered from this paper will be useful in the development and design of HIV-1 integrase inhibitors in the future.

IT 648899-09-6P 648899-10-9P

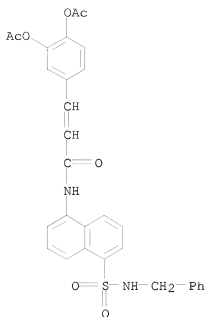
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and structure-activity relationship of caffeoyl naphthalenesulfonamide derivs. as HIV integrase inhibitors)

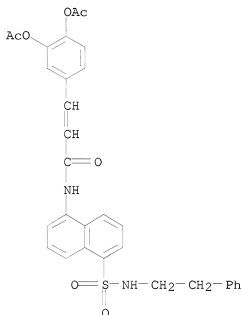
RN 648899-09-6 CAPLUS

CN 2-Propenamide, 3-[3,4-bis(acetyloxy)phenyl]-N-[5-

[[(phenylmethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

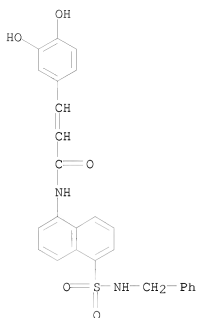


RN 648899-10-9 CAPLUS
 CN 2-Propenamide, 3-[3,4-bis(acetyloxy)phenyl]-N-[5-[[[(2-phenylethyl)amino]sulfonyl]-1-naphthalenyl]-1-naphthalenyl]- (CA INDEX NAME)



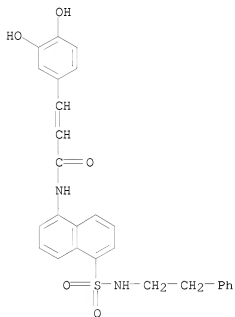
IT 648899-19-8P 648899-20-1P
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and structure-activity relationship of caffeoyl naphthalenesulfonamide derivs. as HIV integrase inhibitors)
 RN 648899-19-8 CAPLUS
 CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-[5-[[[(phenylmethyl)amino]sulfonyl

] -1-naphthalenyl]- (CA INDEX NAME)



RN 648899-20-1 CAPLUS

CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-[5-[(2-phenylethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



IT 147752-42-9P 491580-08-6P 648899-00-7P

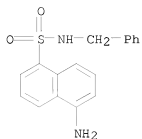
648899-28-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relationship of caffeoyl naphthalenesulfonamide derivs. as HIV integrase inhibitors)

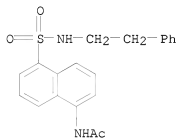
RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



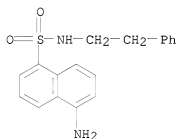
RN 491580-08-6 CAPLUS

CN Acetamide, N-[5-[[(2-phenylethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



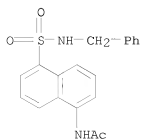
RN 648899-00-7 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2-phenylethyl)- (CA INDEX NAME)



RN 648899-28-9 CAPLUS

CN Acetamide, N-[5-[[(phenylmethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



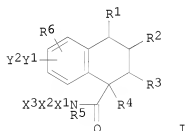
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS ON STN
 ACCESSION NUMBER: 1999:384101 CAPLUS
 DOCUMENT NUMBER: 131:44664
 TITLE: Preparation of carbamoylnaphthalenes as thrombin inhibitors.
 INVENTOR(S): Soyka, Rainer; Heckel, Armin; Lehmann-Lintz, Thorsten; Walter, Rainer; Wienen, Wolfgang; Stassen, Jean Marie
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
 SOURCE: Ger. Offen., 92 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|------------------|----------|
| DE 19754490 | A1 | 19990610 | DE 1997-19754490 | 19971209 |
| WO 9929670 | A2 | 19990617 | WO 1998-EP7958 | 19981208 |
| WO 9929670 | A3 | 19990910 | | |

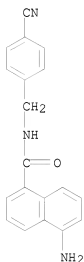
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 9918773 A 19990628 AU 1999-18773 19981208
 DE 1997-19754490 A 19971209
 WO 1998-EP7958 W 19981208

PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 131:44664
 GI



AB Title compds. [I; R1-R4 = H, or R1, R2 = H, R3R4 = double bond, or R1R2 and R3R4 = double bonds; R5 = H, alkyl; R6 = H, F, Cl, Br, alkyl; X1 = alkylene; X2 = phenylene, cycloalkylene, thienylene, oxazolylene, thiazolylene, imidazolylene, pyridinylene, pyrimidinylene, pyrazinylene, pyridazinylene; X3 = cyano, amino, 2-amino-1H-imidazol-4-yl, etc.; Y1 = O, RbN, RbNSO2, RbNCO, etc.; Rb = H, (substituted) alkyl, phenylalkyl, naphthylalkyl, aminocarbonyl, etc.; Y2 = alkyl, cycloalkyl, (substituted) aminocarbonylalkyl, Ph, naphthyl, pyrrolyl, thiazolyl, thienyl, pyridinyl, etc.], were prepared. Thus, Et [2-[quinolin-8-sulfonyl-[5-(carbamidoylbenzylcarbamoyl)-3,4-dihydronaphthalen-2-yl]amino]acetyl]amino]acetate hydrochloride showed a thrombin time ED200 =

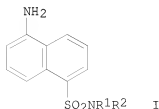
IT 0.009 μ M.
 227278-55-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of carbamoylnaphthalenes as thrombin inhibitors)
 RN 227278-55-9 CAPLUS
 CN 1-Naphthalenecarboxamide, 5-amino-N-[(4-cyanophenyl)methyl]- (CA INDEX
 NAME)



L16 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:383542 CAPLUS
 DOCUMENT NUMBER: 127:4936
 TITLE: Preparation of 5-aminonaphthalene-1-sulfonamides
 INVENTOR(S): Butenas, Saulius; Nedospasov, Andrej; Palaima,
 Algirdas; Staniulyte, Zita
 PATENT ASSIGNEE(S): Biochemijos Institutas, Lithuania
 SOURCE: Lith., 17 pp.
 CODEN: LIXXFS
 DOCUMENT TYPE: Patent
 LANGUAGE: Lithuanian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|------------------------------------|-----------------|----------|
| LT 3911 | B | 19960425 | LT 1993-1741 | 19931230 |
| PRIORITY APPLN. INFO.: | | | LT 1993-1741 | 19931230 |
| OTHER SOURCE(S): | | CASREACT 127:4936; MARPAT 127:4936 | | |

GI

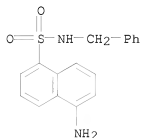


AB The title compds. [I; R1, R2 = H, C1-8 alkyl, CH2CH2OH, etc.; NR1R2 = piperidino, morpholino, hexamethyleneimino], were prepared by reaction of the 5-phthalimidonaphthalenesulfonyl chloride with the corresponding amines in the presence of Et3N in Me2CO followed by treatment of the resulting 5-phthalimidonaphthalenesulfonamides with N2H4.H2O in MeOH.

IT 147752-42-9P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of 5-aminonaphthalene-1-sulfonamides)

RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



L16 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:346887 CAPLUS

DOCUMENT NUMBER: 126:317661

TITLE: Preparation of 5-arginylaminonaphthalene-1-sulfonamide derivatives.

INVENTOR(S): Butenas, Saulius; Palaima, Algirdas; Nedospasov, Andrej; Jankauskas, Rimas

PATENT ASSIGNEE(S): Biochemijos Institutas, Lithuania

SOURCE: Lith., 24 pp.
 CODEN: LIXXFS

DOCUMENT TYPE: Patent

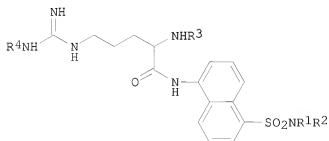
LANGUAGE: Lithuanian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| LT 3910 | B | 19960425 | LT 1993-1740 | 19931230 |
| PRIORITY APPLN. INFO.: | | | LT 1993-1740 | 19931230 |

GI



I

AB Title compds. (I; R1 = R2 = H, Me, Et, Pr, iso-Pr, Bu, iso-Bu, tert-Bu,

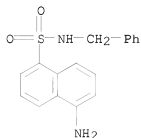
CH2Ph, C5H11, C8H17, cyclohexyl; NR1R2 = morpholino, piperidino, azepino; R3 = R4 = H) were prepared in yields of 64-79% without using DCC or benzyl bromide. I were prepared by the reaction of Boc-Arg(NO2)-OH with basic 5-aminonaphthalene-1-sulfonamides using pyridine and dioxane as solvents and di-tert-Bu pyrocarbonate as the condensing agent giving the intermediate I (R3 = Boc; R4 = NO2). The protecting groups were removed by using MeOH and HCl as solvents and Pd/C as catalyst.

IT 147752-42-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arginylaminonaphthalenesulfonamides)

RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



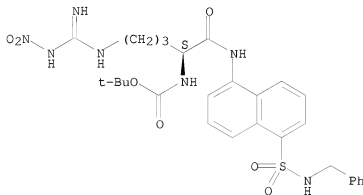
IT 160917-55-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arginylaminonaphthalenesulfonamides)

RN 160917-55-5 CAPLUS

CN Carbamic acid, [4-[[imino(nitroamino)methyl]amino]-1-[[[5-[[[(phenylmethyl)amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:55845 CAPLUS

DOCUMENT NUMBER: 126:89157

TITLE: 5-Aminonaphthalene-1-sulfonamides as fluorescence-detectable substrate groups for peptidase analysis

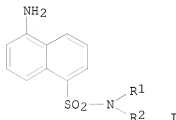
INVENTOR(S): Palajma, A. I.; Butenas, S. Yu; Talajkite, Z. A.; Nedospasov, A. A.

PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj AN, USSR

SOURCE: U.S.S.R. From: Izobreteniya 1996, (4), 266.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| SU 1646258 | A3 | 19960210 | SU 1989-4667842 | 19890208 |

PRIORITY APPLN. INFO.: SU 1989-4667842 19890208
 GI

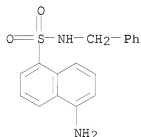


AB Title compds. I [R1 = H, R2 = Me, Et, Pr, iso-Pr, iso-Bu, tert-Bu, pentyl, benzyl, cyclohexyl, CH2CH2OH, CH2CH2OMe, CH2CH2OEt; R1 = R2 = Et, Pr; or R1R2 = (CH2)6] serve as detectable substrate groups for fluorescence anal. of peptidase.

IT 147752-42-9, 5-Amino-N-benzyl-1-naphthalenesulfonamide
 RL: ARG (Analytical reagent use); RCT (Reactant); ANST (Analytical study); RACT (Reactant or reagent); USES (Uses)
 (aminonaphthalenesulfonamides as fluorescence-detectable substrate groups for peptidase anal.)

RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



L16 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:323169 CAPLUS

DOCUMENT NUMBER: 125:10613

TITLE: N-Substituted 5-phthalimidonaphthalene-1-sulfonamides as intermediates for preparation of N-substituted aminonaphthalenesulfonamides

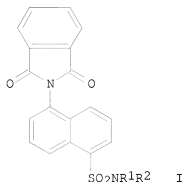
INVENTOR(S): Nedospasov, A. A.; Palajma, A. I.; Butenas, S. Yu.; Baranauskas, G. Yu.

PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj An, USSR

SOURCE: U.S.S.R. From: Izobreteniya 1995, (28), 271.
 CODEN: URXXAF

DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------|------|----------|-----------------|----------|
| SU 1706174 | A3 | 19951010 | SU 1989-4648605 | 19890208 |
| PRIORITY APPLN. INFO.: GI | | | SU 1989-4648605 | 19890208 |

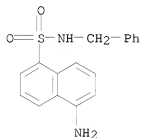


AB Title compds. I [R1 = H, R2 = Me, Et, Bu, pentyl, octyl, cyclohexyl, 4-pyridinyl, CH2Ph; or NR1R2 = morpholino, NMe2, NEt2, NPr2, NBu2, piperidino] are disclosed as intermediates for preparation of N-substituted aminonaphthalenesulfonamides.

IT 147752-42-9P, N-Benzyl-5-aminonaphthalene-1-sulfonamide
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of phthalimidonaphthalenesulfonamides as intermediates for aminonaphthalenesulfonamides)

RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



L16 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:996548 CAPLUS
 DOCUMENT NUMBER: 124:146844
 TITLE:

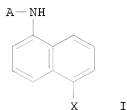
1-(L-Arginylamino)naphthalene-5-sulfonamide
 derivatives as intermediates for preparation of
 1-(aminoacylamino)naphthalene-5-sulfonamides, useful
 as fluorescent reagents for enzyme assay of amidases
 Nedospasov, A. A.; Nezavibatko, V. N.; Potaman, V. N.;
 Rodina, E. V.

INVENTOR(S):

PATENT ASSIGNEE(S): Institut Molekulyarnoj Genetiki RAN, USSR
 SOURCE: U.S.S.R. From: Izobreteniya 1995, (14), 243-4.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| SU 1822563 | A3 | 19950520 | SU 1986-4019225 | 19860210 |
| PRIORITY APPLN. INFO.: | | | SU 1986-4019225 | 19860210 |

GI



AB Arginylaminonaphthalenesulfonamides I [A = Cbz-Arg-, H-Arg-; X = SO₂Z where Z = piperidino, morpholino, or Bu; or X = SO₂NHCH₂CH₂Z where Z = piperidino] are useful as intermediates for preparation of (aminoacylamino)naphthalenesulfonamides I [A = Tos-Gly-Pro-Arg-; X = as above]. The latter are useful as fluorescent reagents for anal. of enzymes showing amidase activity.

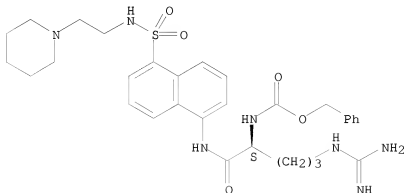
IT 121722-35-8P 121722-38-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; arginylaminonaphthalenesulfonamide derivs. as intermediates for fluorescent reagents for amidase assay)

RN 121722-35-8 CAPLUS

CN Carbamic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

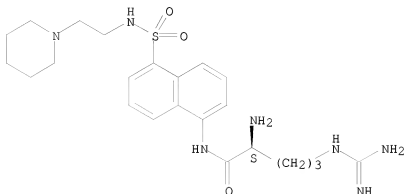


RN 121722-38-1 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

(NAME)

Absolute stereochemistry.



IT 121722-25-6P

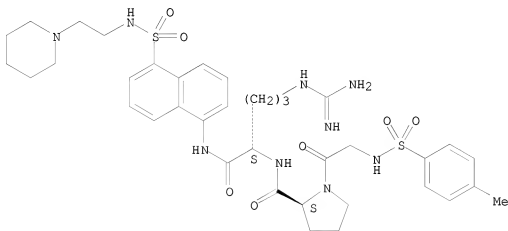
RL: ARG (Analytical reagent use); IMF (Industrial manufacture); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)

(reagent; arginylaminonaphthalenesulfonamide derivs. as intermediates for fluorescent reagents for amidase assay)

RN 121722-25-6 CAPLUS

CN L-Argininamide, N-[(4-methylphenyl)sulfonyl]glycyl-L-prolyl-N-[5-[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L16 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:354370 CAPLUS

DOCUMENT NUMBER: 122:133843

TITLE: 5-[(N α -Nitro-N α -tert-butylloxycarbonyl)arginylamino]naphthalene-1-sulfamides as intermediates for the preparation of 5-arginylamino-1-naphthalenesulfamides

INVENTOR(S): Butenas, Saulys Yu.; Palajma, Algirdas I.; Nedospasov, Andrej A.

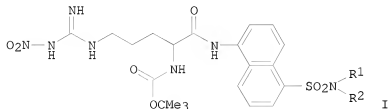
PATENT ASSIGNEE(S): Institut Biokhimii AN Litvy, USSR; Institut Molekulyarnoj Genetiki AN SSSR

SOURCE: U.S.S.R. From: Izobreteniya 1993, (13), 217.

DOCUMENT TYPE: CODEN: URXXAF
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: Russian
 PATENT INFORMATION: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| SU 1807986 | A3 | 19930407 | SU 1990-4854377 | 19900720 |

PRIORITY APPLN. INFO.: SU 1990-4854377 19900720
 GI



AB Title compds. I [R1 = H, R2 = Me, Et, Bu, iso-Bu, tert-Bu, pentyl, octyl, cyclohexyl, CH2Ph; or NR1R2 = NMe2, NEt2, NPr2, NBu2, morpholino, piperidino, azepino, N(Bu-iso)2] serve as intermediates for preparation of 5-arginylamino-1-naphthalenesulfamides.

IT 160917-55-5P

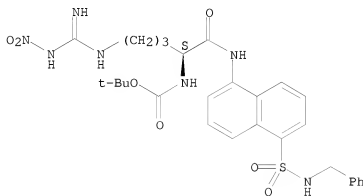
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(nitro(tert-butoxycarbonyl)arginylaminonaphthalenesulfamides as intermediates for preparation of arginylamino-naphthalenesulfamides)

RN 160917-55-5 CAPLUS

CN Carbamic acid, [4-[[imino(nitroamino)methyl]amino]-1-[[[5-[[[phenylmethyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 160917-65-7P

RL: PNU (Preparation, unclassified); PREP (Preparation)

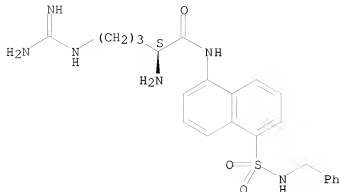
(nitro(tert-butoxycarbonyl)arginylaminonaphthalenesulfamides as intermediates for preparation of arginylamino-naphthalenesulfamides)

RN 160917-65-7 CAPLUS

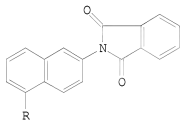
CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[[[phenylmethyl]amino]sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

NAME)

Absolute stereochemistry.



L16 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1993:516913 CAPLUS
DOCUMENT NUMBER: 119:116913
TITLE: Synthesis of substituted 6-aminonaphthalene-1-sulfamides
AUTHOR(S): Palaima, A.; Butenas, S.; Talaikyte, Z.
CORPORATE SOURCE: Inst. Biokhim., Lithuania
SOURCE: Chemija (1991), (3), 144-53
CODEN: CHMJES; ISSN: 0235-7216
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 119:116913
GI



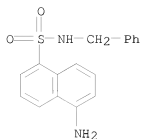
I

AB Treating the amine group in 6-H2NC10H6SO3H or its Na or ammonium salts with phthalic anhydride in refluxing pyridine afforded directly the pyridinium salt of phthalimide derivative I (R = SO3-.HNC5H5+) in 63, 54, and 46% yields, resp. Subsequent reaction with PC15 afforded I (R = SO2Cl), which upon reaction with amines afforded sulfamides I (R = SO2NR1R2; R1 = e.g., H, alkyl; R2 = alkyl; NR1R2 = e.g., morpholino). Deprotection was carried out by hydrazinolysis in MeOH, to afford 6-H2NC10H6SO2NR1R2 (II). The fluorescence of II suggested these compds. may be applied as fluorogenic groups for peptide substrates.

IT 147752-42-9
RL: PRP (Properties)
(UV and fluorescence of)

RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



L16 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:453023 CAPLUS

DOCUMENT NUMBER: 111:53023

ORIGINAL REFERENCE NO.: 111:8933a,8936a

TITLE: Screening of artificial substrates for proteinases

AUTHOR(S): Nedospasov, A. A.; Potaman, V. N.; Rodina, E. V.

CORPORATE SOURCE: Inst. Mol. Gen., Moscow, USSR

SOURCE: Bioorganicheskaya Khimiya (1989), 15(4), 444-52

CODEN: BIKHD7; ISSN: 0132-3423

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB A method of screening of proteinase substrates is proposed. An equimolar mixture of substrates consisting of peptide and easily detectable chromophore moieties (all chromophores in the mixture must be different) is subjected to enzymic treatment. The cleaved chromophore groups, which are products of the substrate proteolysis, are quant. determined by chromatog. The k_{cat}/K_m ratio is greater for substrates with higher initial rate accumulation of proteolysis products. The method is illustrated by screening of peptide derivs. of aminonaphthalene sulfonamides for trypsin assay. Proteolysis products are determined by HPLC with absorption detection or by TLC with fluorescence detection.

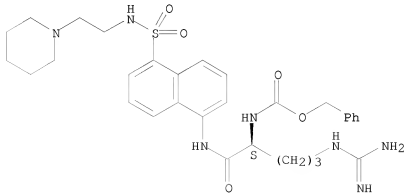
IT 121722-35-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)

RN 121722-35-8 CAPLUS

CN Carbamic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 121722-38-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

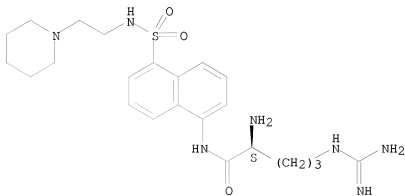
(Reactant or reagent)

(preparation and reaction with tosylglycylproline)

RN 121722-38-1 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 121722-25-6P

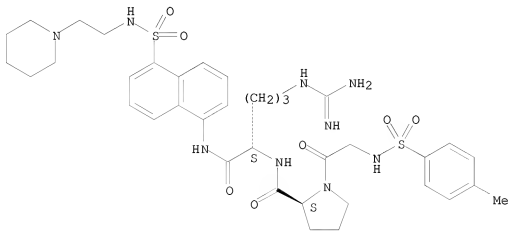
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and screening as proteinase substrates, chromophore group in relation to)

RN 121722-25-6 CAPLUS

CN L-Argininamide, N-[(4-methylphenyl)sulfonyl]glycyl-L-prolyl-N-[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



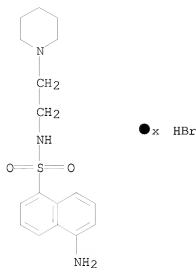
IT 121722-29-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with benzyloxycarbonylarginine)

RN 121722-29-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[2-(1-piperidinyl)ethyl]-, hydrobromide (9CI) (CA INDEX NAME)



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1 L18
4557025 PY > 2004

L20 0 L18 NOT PY > 2004